

STIC Search Report Biotech-Chem Library

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TO: Deborah Lambkin

Location:

Art Unit: 1626

November 7, 2004

Case Serial Number:

From: P. Sheppard

Location: Remsen Building

Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes			
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Access DB# 137255

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Delas	rate Lamste	Examiner #: 7/	300 Date: ///0	3/04
Requester's Full Name: Usho Art Unit: 1626 Phone I	Number 30-2-06	598 Serial Number	er:	
Mail Box and Bldg/Room Location	n: <i>Rem 53</i> 607 Res	sults Format Preferre	d (circle): PAPER DISK	E-MAIL
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Please provide a detailed statement of the				
Include the elected species or structures, l	keywords, synonyms, acre	onyms, and registry numb	pers, and combine with the con	cept or
utility of the invention. Define any terms known. Please attach a copy of the cover			or relevant citations, authors, e	tc, if
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Inventors (please provide full names):	M12400	et al		
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Earliest Priority Filing Date:				
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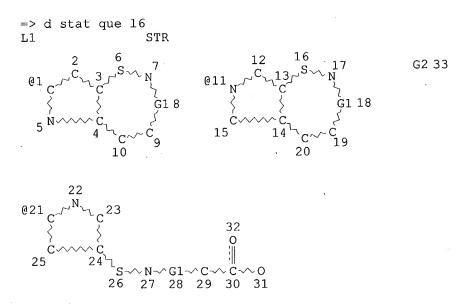
PTO-1590 (8-01)

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FILE COVERS 1907 - 7 Nov 2004 VOL 141 ISS 20 FILE LAST UPDATED: 6 Nov 2004 (20041106/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.



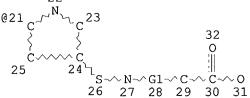
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GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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L4 ST



REP G1=(0-1) C VAR G2=1/11/21 NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L5 88 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L6 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

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L6 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:633665 HCAPLUS 141:190781

DOCUMENT NUMBER: TITLE:

Preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting

compounds

INVENTOR(S):

Anderson, David R.; Mahoney, Matthew W.; Phillion, Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda, Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz, David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin;

Vernier, William F.

PATENT ASSIGNEE(S):

SOURCE:

Pharmacia Corporation, USA PCT Int. Appl., 573 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

3

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004058762	A1 200407	L5 WO 2003-XA40811	20031219
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CN, CO, CR,	CU. CZ. DE. D	K. DM. DZ. EC. EE. EG.	ES, FI, GB, GD,

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        TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
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WO 2004058762
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        GQ, GW, ML, MR, NE, SN, TD, TG
                                       US 2002-434962P
                                                              20021220
                                       WO 2003-US40811
                                                           A 20031219
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PRIORITY APPLN. INFO.:

GΙ

R50 :ip R56 R55 R54 Ι

II

AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z^2 and Z^4 = N, Z^2 is unsubstituted and Z^3 and Z^5 = C; when Z^1-Z^5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when Z1-Z5 form a triazole ring, Z2 and Z5 = N $\,$ that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un)substituted5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs2CO3, Pd(PPh3)4 in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given' for over 800 compds). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNFa, are described, where the method involves administering to the subject an MK-2 inhibiting compound Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. This is a part II of I-II series. IT

736987-57-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a $TNF\alpha$ mediated diseases)

RN 736987-57-8 HCAPLUS

CN

CN

2H-Pyrrolo[2,3-f]-1,2-thiazepine, 7-[2-(2-fluorophenyl)-4-pyridinyl]-3,4,5,6-tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

IT 736987-58-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

RN 736987-58-9 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepine, 7-[2-(2-fluorophenyl)-4-pyridinyl]-3,4,5,6-tetrahydro-, 1,1-dioxide, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 736987-57-8 CMF C18 H16 F N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

736990-53-7P 736990-56-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a $TNF\alpha$ mediated diseases)

736990-53-7 HCAPLUS

RN

CN

β-Alanine, N-[[5-(2-chloro-4-pyridinyl)-1H-pyrrol-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & C1 \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & &$$

RN 736990-56-0 HCAPLUS

CN β -Alanine, N-[[5-[2-(3-fluorophenyl)-4-pyridinyl]-1H-pyrrol-3-yl]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 736990-55-9 CMF C18 H16 F N3 O4 S

$$HO_2C-CH_2-CH_2-NH-S=0$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L6 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2

2004:566609 HCAPLUS

DOCUMENT NUMBER:

141:123608

TITLE:

Preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compounds

INVENTOR(S): Anderson, David R.; Mahoney, Matthew W.; Phillion,

Dennis P.; Rogers, Thomas E.; Meyers, Marvin J.; Poda,

WO 2003-US40811 · A 20031219

II

Gennadiy; Hegde, Shridhar G.; Singh, Megh; Reitz, David B.; Wu, Kun K.; Buchler, Ingrid P.; Xie, Jin;

Vernier, William F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 573 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
WO	WO 2004058762			A1 20040715			WO 2003-US40811						20031219					
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		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ													
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AT,	BE,	
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		MC,	ΝL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	
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WO	WO 2004058762						WO 2003-XA40811					20031219						
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				•			DK,	•		•	•	•	•		•	•	•	
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US 2004209897							2004	1021		US 2			-		20031219			
ORITY APPLN. INFO.:									US 2	002-	4349	P 20021220						

- 2 p50 p51

OTHER SOURCE(S):

GΙ

N H

MARPAT 141:123608

R2 R30 R31

R52

R52

R72 Z3

R52

R56

Z5 Z4

T R53

AB The title compds. [I; Z1, Z3, Z4 = C, N; Z2, Z5 = C, N, S, O, and join together with Z1, Z3 and Z4 to form a ring that is selected from a pyrrole, furan, thiophene, oxazole, thiazole, triazole, and imidazole; when either Z2, or Z5 = O or S, it has no substituent group; when Z1-Z5

Lambkin - Mizuno et.al

form an imidazole ring, Z1 = C and if Z2 and Z5 = N, one is unsubstituted and Z3 and Z4 = C, if Z3 and Z5 = N, Z5 is unsubstituted and Z2 and Z4 = C, and if Z_2 and Z_4 = N, Z_2 is unsubstituted and Z_3 and Z_5 = C; when Z_1-Z_5 form an oxazole or thiazole ring, Z1, Z3 and Z4 = C and one of Z2 and Z5 = N that is unsubstituted; when $Z\bar{1}-Z\bar{5}$ form a triazole ring, $Z\bar{2}$ and $Z\bar{5}=N$ that is unsubstituted; T = C, N; p = 0-3; X = C, S; Ra = (un) substituted 5-6 membered hetero(aryl) or partially unsatd. 5-6 membered ring; R2, R5, R50-R53, R56 = absent, H, alkyl, aryl, etc.; R54, R55 = oxo, absent] which inhibit mitogen activated protein kinase-activated protein kinase-2 (MK-2), were prepared Thus, reacting 2-(2-chloropyridin-4-yl)-1,5,6,7tetrahydro-4H-pyrrolo[3,2-c]pyridin-4-one (preparation given) with 3-thiopheneboronic acid in the presence of Cs2CO3, Pd(PPh3)4 in DMF afforded 57% II.TFA. The compds. I were tested for MK-2 inhibition activity (biol. data given for over 800 compds). Methods of using compds. I for the inhibition of MK-2, and for the prevention or treatment of a disease or disorder that is mediated by TNFa, are described, where the method involves administering to the subject an MK-2 inhibiting compound I. Therapeutic compns., pharmaceutical compns. and kits which contain the present MK-2 inhibiting compds. I are also described. This is a part I of I-II series.

724725-06-8P

IT

RN

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinones as mitogen activated protein kinase-activated protein kinase-2 inhibiting compds. for preventing or treating a TNF α mediated diseases)

724725-06-8 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepine, 7-[2-(3-fluorophenyl)-4-pyridinyl]-3,4,5,6-tetrahydro-, 1,1-dioxide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 724725-05-7 CMF C18 H16 F N3 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L6 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:22881 HCAPLUS 138:89821

DOCUMENT NUMBER:

INVENTOR(S):

Preparation of pyrazolo[3,4-d]pyrimidines for

TITLE: Prep

inhibiting H. pylori infections Basarab, Gregory; Eyermann, Joseph; Gowravaram,

Madhusudhan; Green, Oluyinka; MacPherson, Lawrence;

Morningstar, Marshall; Nguyen, Thanh

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

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								ZA,									
		ТJ,	TM														
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								GB,									TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
•	EP 141	2355			A1 20040428			EP 2002-746256					20020628				
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	JP 200											5089	48		2	0020	628
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										WO 2	002-	SE13	03	1	₩ 2	0020	628
OTHER SOURCE(S):				MAR	PAT	138:	8982	1									

AB Title compds. I [wherein R1 and R2 = independently H, NH2, or

(un) substituted (cyclo) alkyl, (cyclo) alkenyl, alkynyl, aryl, alkoxy, or heterocyclyl; R3 = (un) substituted monocyclic or bicyclic ring system comprising 0-3 heteroatoms independently selected from N, O, or S; R4 = (un) substituted alkyl or (di) alkylamino, with exceptions; Y = CH2, CHCH3, SO, or SO2; and pharmaceutically acceptable salts thereof] were prepared For example, 6-hydrazino-1-isobutyl-3-methylpyrimidine-2,4-(1H,3H)-dione (4-step preparation given) was condensed with 1-naphthaldehyde in MeOH to give the hydrazone. Cyclocondensation with N-(4-formylphenyl) acetamide in DMF afforded II. Compds. of the invention exhibited glutamate racemase (MurI) activity with IC50 values of < 400 μ M. Thus, I and pharmaceutical compns. containing them are useful in the treatment or prophylaxis of Helicobacter pylori (H. pyroli) infection (no data).

482586-33-4P, N-[[5-[2-[(5-Chloro-1H-indol-3-yl)methyl]-7-(cyclopropylmethyl)-5-methyl-4,6-dioxo-4,5,6,7-tetrahydro-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]glycine 482586-34-5P, N-[[5-[2-[(6-Chloroquinolin-4-yl)methyl]-7-(cyclopropylmethyl)-5-methyl-4,6-dioxo-4,5,6,7-tetrahydro-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]glycine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antibacterial agent; preparation of pyrazolo[3,4-d]pyrimidine H. pylori antibacterial agents by cyclocondensation of pyrimidinylhydrazones with aldehydes)

RN 482586-33-4 HCAPLUS

IT

CN Glycine, N-[[5-[2-[(5-chloro-1H-indol-3-yl)methyl]-7-(cyclopropylmethyl)-4,5,6,7-tetrahydro-5-methyl-4,6-dioxo-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 482586-34-5 HCAPLUS

CN Glycine, N-[[5-[2-[(6-chloro-4-quinolinyl)methyl]-7-(cyclopropylmethyl)-4,5,6,7-tetrahydro-5-methyl-4,6-dioxo-2H-pyrazolo[3,4-d]pyrimidin-3-yl]-1-methyl-1H-pyrrol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$HO_2C-CH_2-NH-S=O$$

N
Me

IT 482585-32-0P, N-[(5-Formyl-1-methyl-1H-pyrrol-3-

yl)sulfonyl]glycine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrazolo[3,4-d]pyrimidine H. pylori antibacterial agents by cyclocondensation of pyrimidinylhydrazones with aldehydes)

RN 482585-32-0 HCAPLUS

CN Glycine, N-[(5-formyl-1-methyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ & & \\ & & \\ \text{N} & \text{CHO} \\ \\ \text{HO}_2\text{C}-\text{CH}_2-\text{NH}-s = 0 \\ & & \\ & & \\ \text{O} & & \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

5

Lambkin - Mizuno et.al

ACCESSION NUMBER:

2000:564532 HCAPLUS

DOCUMENT NUMBER:

133:207777

TITLE:

Revisit to the sulfonation of pyrroles: is the

sulfonation position correct?

AUTHOR(S):

Mizuno, A.; Kan, Y.; Fukami, H.; Kamei, T.; Miyazaki,

K.; Matsuki, S.; Oyama, Y.

CORPORATE SOURCE:

Suntory Institute for Biomedical Research, Osaka,

618-8503, Japan

SOURCE:

Tetrahedron Letters (2000), 41(34), 6605-6609

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AΒ

Sulfonation of pyrrole and its 1-Me derivs. with a sulfur trioxide-pyridine complex was found to give 3-sulfonated pyrroles, but not 2-sulfonates as described in textbooks. The replacement of 1-methyl-2-tri-n-butylstannylpyrrole with trimethylsilyl chlorosulfonate,

followed by quenching with aqueous NaHCO3 also generated, sodium

1-methylpyrrole-3-sulfonate, not 2-sulfonate.

ΙT 232945-11-8P 232945-12-9P

> RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (correct position of sulfonation of pyrroles and crystal structure of pyrrolothiazepinones)

RN232945-11-8 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-2-methyl-, CN

1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-12-9 HCAPLUS

2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-2-methyl-, CN

1,1-dioxide (9CI) (CA INDEX NAME)

232945-09-4P TT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(correct position of sulfonation of pyrroles and crystal structure of pyrrolothiazepinones)

RN 232945-09-4 HCAPLUS

CN β-Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)- (9CI) NAME)

$$O = S - N - CH_2 - CH_2 - CO_2H$$

$$0 = M - CH_2 - CH_2 - CO_2H$$

$$0 = M - CH_2 - CH_2 - CO_2H$$

$$0 = M - CH_2 - CH_2 - CO_2H$$

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:449036 HCAPLUS

DOCUMENT NUMBER:

131:116150

TITLE:

Preparation of pyrrole sulfonamide system chemical compounds as serotonin-2 receptor antagonists on

circulatory system disease

INVENTOR(S):

Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami,

Harukazu; Inomata, Norio

PATENT ASSIGNEE(S):

Suntory, Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE A	APPLICATION NO.	DATE				
	A2		JP 1997-366757 WO 1998-JP5955	19971226 19981225				
W: AU, CA, CN,	HU, KR,	US		TH MO NT				
PT, SE	CI, DE,	DK, ES, F1,	FR, GB, GR, IE, IT,	EU, MC, NE,				
AU 9916907 AU 752510		19990719 <i>1</i> 20020919	AU 1999-16907	19981225				
EP 970089			EP 1998-961599	19981225				
R: AT, BE, CH, IE, FI	DE, DK,	ES, FR, GB,	GR, IT, LI, LU, NL,	SE, MC, PT,				
CN 1131870			CN 1998-802886	19981225				
US 6331623 US 2002137928			JS 1999-367842 JS 2001-939829	19990826 20010828				
US 6583296	В2	20030624						
US_2003229070 /US 6743913 [/]		20031211	JS 2003-421929	20030424				
PRIORITY APPLN. INFO.:				A 19971226				
				W 19981225 A3 19990826				
OTHER SOURCE(S):	маррат		JS 2001-939829	A3 20010828				
orner ocorce (o).								

GΙ

$$Q = -N D - X - R^{1}$$

IΤ

AB Title compds. [I; A = CH, N(CH2)4Q, N(CH2)3Q; B = N(CH2)4Q, N(CH2)3Q, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y = 0, NOH; R = H, CH3; R1 = 2-OMe, 4-F] and their salts are prepared as serotonin-2 receptor antagonists on treatment of circulatory system disease. Thus, the title compound I (B = CH; A = N(CH2)4Q; m = 1; D = N; Y = 0; X = bond; dotted bonds were single and double related to A; R = CH3; R1 = 2-OMe) was prepared via cyclization and tested for anti-5-HT and anti- α 1 actions in male guinea pig.

232945-19-6P 232945-21-0P 232945-22-1P
RL: BAC (Biológical activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)
232945-19-6 HCAPLUS

RN 232945-19-6 HCAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorophenyl)-1-

piperazinyl]butyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-21-0 HCAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-22-1 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-]CN piperazinyl]propyl]-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX

Me
$$N = S$$
 $N = CH_2$ $S = N$ $S = N$

IT 232945-18-5P 232945-20-9P 232945-23-2P

232945-24-3P 232945-25-4P 232945-26-5P

232945-27-6P 232945-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

232945-18-5 HCAPLUS RN

Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorobenzoyl)-1-CN piperidinyl]butyl]-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

232945-20-9 HCAPLUS RN

2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-[4-[4-(2-CN methoxyphenyl)-1-piperazinyl]butyl]-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

232945-23-2 HCAPLUS

RN

CN

RN

2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

232945-24-3 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorobenzoyl)-1-piperidinyl]butyl]-2,3-dihydro-2-methyl-, 4-oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 (CH₂) 4 \sim N \sim F

RN 232945-25-4 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[4-[4-(4-fluorobenzoyl)-1-piperidinyl]butyl]-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

232945-26-5 HCAPLUS

RN

CN

CN

IT

RN

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[4-[4-(4-fluorophenyl)-1-piperazinyl]butyl]-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CI INDEX NAME)

RN 232945-27-6 HCAPLUS

Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CAINDEX NAME)

Me N
$$\sim$$
 N \sim (CH₂)₃ \sim N \sim F

RN 232945-28-7 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

232619-71-5P 232619-72-6P 232619-73-7P 232619-74-8P 232619-75-9P 232619-77-1P

232619-74-6F 232619-73-9F 232619-77-1F 232619-78-2P 232619-84-0P 232945-06-1P

232945-07-2P 232945-08-3P 232945-09-4P

232945-10-7P 232945-11-8P 232945-12-9P 232945-13-0P 232945-14-1P 232945-15-2P

232945-16-3P 232945-17-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent).

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

232619-71-5 HCAPLUS

CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - C - O - CH_2 - Ph$$

$$O = O = O$$

RN 232619-72-6 HCAPLUS

CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 232619-73-7 HCAPLUS

CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - CO_2H$$

$$O = O$$

RN 232619-74-8 HCAPLUS

CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - CH_2 - CO_2H$$

$$O = O$$

RN 232619-75-9 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-77-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-78-2 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-84-0 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-06-1 HCAPLUS

CN Glycine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$O = S - N - CH_2 - C - OEt$$

$$O = S - N - CH_2 - C - OEt$$

$$O = Me$$

RN 232945-07-2 HCAPLUS

CN β -Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
O \\
O \\
S - N - CH_2 - CH_2 - C - OEt \\
\parallel & \mid \\
O \\
Me
\end{array}$$

RN 232945-08-3 HCAPLUS

CN Glycine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - N - CH_2 - CO_2H$$

$$O = Me$$

RN 232945-09-4 HCAPLUS

CN β -Alanine, N-methyl-N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - N - CH_2 - CH_2 - CO_2H$$

$$O = M - CH_2 - CH_2 - CO_2H$$

$$O = M - CH_2 - CH_2 - CO_2H$$

RN 232945-10-7 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-11-8 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-12-9 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA'INDEX NAME)

RN 232945-13-0 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-(4-chlorobutyl)-2,3-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-14-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-(4-chlorobutyl)-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-15-2 HCAPLUS

CN

2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 7-(4-chlorobutyl)-3,4-dihydro-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232945-16-3 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 5-(4-chlorobutyl)-2,3-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

Me N
$$(CH_2)_4-C1$$

RN 232945-17-4 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 6-(4-chlorobutyl)-3,4-dihydro-2-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Lambkin - Mizuno et.al

ACCESSION NUMBER:

1999:449035 HCAPLUS

DOCUMENT NUMBER:

131:116257

TITLE:

Preparation of pyrrole sulfonamide derivatives as

serotonin-2 receptor antagonists

INVENTOR(S):

Mizuno, Akira; Shibata, Makoto; Iwamori, Chie; Fukami,

Harukazu; Inomata, Norio

PATENT ASSIGNEE(S):

Suntory, Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

GΙ

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIN		DATE			APPLICATION NO.						DATE				
WO	1119 9933 9933	840			A2		1999	0708						56 54			1997 1998			
		•	BE,	•	HU, CY,		, US , DK,	ES,	FI,	, FI	٦,	GB,	GR,	IE,	IT,	LU	, MC	٠,	NL,	
	•										AU 1999-16906						19981225			
EP	9700 R:						2000 , ES,													
	6271 2002						2001 2002	0807 0404						41 55			1999 2001			
US US	6624 2004	31 <u>4</u> 1277	05		В2		2003	0923		US	20	03-	6158	36			2003	07	10	
PRIORITY	Y APP	LN.	INFO	.:						WO	19	98-	JP59	56 54 41		W	1997 1998	12	25	
OTHER SO	MAR	PAT	131:	1162	57					55		-			-					

$$\begin{array}{c}
O & O & R \\
N & / \\
S - N \\
R
\\
CH_2) m$$

$$Q = -N D-X - F$$

Title compds. [I; A = CH, NMe; B = NMe, CH; dotted bonds = single, double; m = 0, 1; D = CH, N; X = bond, CO; Y-Z=:O, :NOH; Y=H; Z=OH; R=AΒ CH2CH2CH2Q] and their salts are prepared as serotonin 2 receptor antagonists on treatment of circulatory system disease with low side effect. Thus, the title compound I (A = \overline{CH} ; B = \overline{NMe} ; m = 1; D = \overline{N} ; Y-Z = :0; X = \overline{DMe} ; the title compound I (A = \overline{CH} ; B = \overline{NMe} ; m = 1; D = \overline{N} ; Y-Z = :0; X = \overline{DMe} ; the title compound I (A = \overline{CH} ; B = \overline{NMe} ; m = 1; D = \overline{N} ; Y-Z = :0; X = \overline{DMe} ; the title compound I (A = \overline{CH} ; B = \overline{NMe} ; m = 1; D = \overline{N} ; Y-Z = :0; X = \overline{DMe} ; the title compound I (A = \overline{DMe}); \overline{DMe} ; \overline{DMe} ;

dotted bonds were single and double related to B) was prepared and tested for anti-5-HT and anti- $\alpha 1$ actions in guinea pig.

IT 232619-90-8P 232619-92-0P 232619-93-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

RN 232619-90-8 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-92-0 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-93-1 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-7-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

IT 232619-91-9P 232619-94-2P 232619-95-3P 232619-96-4P 232619-97-5P 232619-98-6P 232619-99-7P 232620-00-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists)

RN 232619-91-9 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-94-2 HCAPLUS CN Pyrrolo[2,3-e]-1,2-thiazi

Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3-dihydro-5-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-95-3 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-2,3-dihydro-5-methyl-, 4-oxime, 1,1-dioxide (9CI) (CAINDEX NAME)

RN 232619-96-4 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4-dihydro-6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-97-5 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-[3-[4-(4-fluorobenzoyl)-1-piperidinyl]propyl]-3,4-dihydro-6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-98-6 HCAPLUS
CN Pyrrolo[2,3-e]-1,2-thiazin-4-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-2,3,4,5-tetrahydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-99-7 HCAPLUS
CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5-ol, 2-[3-[4-(4-fluorophenyl)-1-piperazinyl]propyl]-3,4,5,6-tetrahydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232620-00-7 HCAPLUS 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5-ol, 2-[3-[4-(4-fluorophenyl)-1-CN piperazinyl]propyl]-3,4,5,7-tetrahydro-7-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

ΙT 232619-71-5P 232619-72-6P 232619-73-7P 232619-74-8P 232619-75-9P 232619-76-0P 232619-77-1P 232619-78-2P 232619-80-6P 232619-81-7P 232619-82-8P 232619-83-9P 232619-84-0P 232619-85-1P 232619-86-2P 232619-87-3P 232619-88-4P 232619-89-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrrolothiazinones and pyrrolothiazepinones as serotonin-2 receptor antagonists) RN 232619-71-5 HCAPLUS Glycine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) CN

NAME)

$$O = S - NH - CH_2 - C - O - CH_2 - Ph$$

$$0 0 0$$

RN 232619-72-6 HCAPLUS CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - CH_2 - C - O - CH_2 - Ph$$

$$0 0 0$$

RN 232619-73-7 HCAPLUS CN Glycine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - CO_2H$$

RN 232619-74-8 HCAPLUS CN β -Alanine, N-(1H-pyrrol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - NH - CH_2 - CH_2 - CO_2H$$

$$O = O$$

RN 232619-75-9 HCAPLUS CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-76-0 HCAPLUS

CN Pyrrolo[3,4-e]-1,2-thiazin-4(6H)-one, 2,3-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-77-1 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-78-2 HCAPLUS

CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

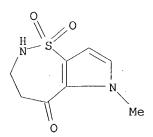
RN 232619-80-6 HCAPLUS

CN β -Alanine, N-[(1-methyl-1H-pyrrol-3-yl)sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 232619-81-7 HCAPLUS CN β -Alanine, N-[(1-methyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 232619-82-8 HCAPLUS CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-83-9 HCAPLUS
CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 3,4-dihydro-6-methyl-,
1,1-dioxide (9CI) (CA INDEX NAME)



RN 232619-84-0 HCAPLUS CN 2H-Pyrrolo[3,4-f]-1,2-thiazepin-5(7H)-one, 3,4-dihydro-7-methyl-,

1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-85-1 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-chloropropyl)-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI). (CA INDEX NAME)

RN 232619-86-2 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-bromopropyl)-2,3-dihydro-5-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-87-3 HCAPLUS

CN 2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-(3-chloropropyl)-3,4-dihydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-88-4 HCAPLUS

CN Pyrrolo[2,3-e]-1,2-thiazin-4(5H)-one, 2-(3-chloropropyl)-2,3-dihydro-5methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 232619-89-5 HCAPLUS

2H-Pyrrolo[2,3-f]-1,2-thiazepin-5(6H)-one, 2-(3-chloropropyl)-3,4-dihydro-CN 6-methyl-, oxime, 1,1-dioxide (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:185809 HCAPLUS

DOCUMENT NUMBER: 130:281947

TITLE: Oxidation on the side-chain in 1,2-dialkyl-3-

nitropyrroles and 3-[(alkylamino)sulfonyl]-1,2-

dialkylpyrroles

AUTHOR(S): Moranta, Concepcio; Pujol, M. Dolors; Molins-Pujol,

Antoni M.; Bonal, Joaquim Laboratori Investigacio Quimico-Farmaceutica, Institut CORPORATE SOURCE:

Recerca, Hospital Santa Creu Sant Pau, Barcelona,

E-08025, Spain

SOURCE: Synthesis (1999), (3), 447-452

CODEN: SYNTBF; ISSN: 0039-7881

Georg Thieme Verlag PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

CASREACT 130:281947 OTHER SOURCE(S):

Benzylic Me and CH2 groups can be converted either to the corresponding

alkyl halide, alc., or carbonyl compds. by treating 3-nitro- and 3-[(alkylamino)sulfonyl]pyrroles with the adequate oxidizing agent.

IT 216697-84-6 216697-87-9 216697-90-4

222549-70-4 222549-71-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidation on side-chain in alkylated nitropyrroles and

pyrrolesulfonamides)

RN 216697-84-6 HCAPLUS

1H-Pyrrole-2-carboxylic acid, 4-[((2-methoxy-2-oxoethyl)amino]sulfonyl]-CN

RN 216697-87-9 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 216697-90-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-70-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[(4-fluorophenyl)methyl](2-methoxy-2-oxoethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-71-5 HCAPLUS

CN Glycine, N-[(1,2-dimethyl-1H-pyrrol-3-yl)sulfonyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

IT 222549-87-3P 222549-91-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation on side-chain in alkylated nitropyrroles and pyrrolesulfonamides)

RN 222549-87-3 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-formyl-4-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-91-9 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino]sulfonyl]-5-formyl-1-methyl-, ethyl ester (9CI) (CAINDEX NAME)

IT 222549-81-7P 222549-84-0P 222549-85-1P 222549-86-2P 222549-88-4P 222549-89-5P 222549-90-8P 222549-94-2P 222549-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (oxidation on side-chain in alkylated nitropyrroles and pyrrolesulfonamides)

RN 222549-81-7 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-84-0 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-formyl-4-[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-85-1 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(hydroxymethyl)-4-[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{II} \\ & \text{N} & \text{C-OEt} \\ & \text{N} & \text{C-OEt} \\ & \text{MeO-C-CH}_2 - \text{NH-S} = \text{O} \\ & \text{II} \\ & \text{O} & \text{O} \\ \end{array}$$

RN 222549-86-2 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{BrCH}_2 & \text{N} & \text{C-OEt} \\ \\ \text{O} & \text{N} & \text{C-OEt} \\ \\ \text{MeO-C-CH}_2 - \text{N-S} & \text{O} \\ & \text{II} & \text{II} \\ & \text{Me O} \end{array}$$

RN 222549-88-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(hydroxymethyl)-4-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{HO-CH}_2 & \text{N} & \text{C-OEt} \\ \\ \text{O} & \text{C-OEt} \\ \\ \text{MeO-C-CH}_2 - \text{N-S} & \text{O} \\ & \text{Me} & \text{O} \\ \end{array}$$

RN 222549-89-5 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 5-(bromomethyl)-4-[[[(4-fluorophenyl)methyl](2-methoxy-2-oxoethyl)amino]sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 222549-90-8 HCAPLUS

CN Glycine, N-[(2-formyl-1-methyl-1H-pyrrol-3-yl)sulfonyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 222549-94-2 HCAPLUS

CN 1H-Pyrrole-2,5-dicarboxylic acid, 3-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1-methyl-, 5-ethyl ester (9CI) (CA INDEX NAME)

RN 222549-95-3 HCAPLUS

CN 1H-Pyrrole-2,5-dicarboxylic acid, 3-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino]sulfonyl]-1-methyl-, 5-ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS 16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN L6

ACCESSION NUMBER:

1998:602881 HCAPLUS

DOCUMENT NUMBER:

130:38261

TITLE:

Synthesis and properties of 1-alkyl-2-methyl-3-

sulfonylpyrroles and 1-alkyl-2-methyl-3-

sulfonylpyrrole-5-carboxylic acid derivatives

AUTHOR(S):

Moranta, Concepcio; Molins-Pujol, Antoni M.; Pujol, M.

Dolors; Bonal, Joaquim

CORPORATE SOURCE:

Institut de Recerca de l'Hospital de la Santa Creu i

Sant Pau, Laboratori d'Investigacio

Quimico-Farmaceutica, Barcelona, 08025, Spain

SOURCE:

Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1998), (19),

3285-3292

CODEN: JCPRB4; ISSN: 0300-922X

PUBLISHER:

Royal Society of Chemistry

DOCUMENT TYPE:

Journal

LANGUAGE: English

1,2-Dialkyl-3-sulfonylpyrroles are versatile synthetic tools for obtaining related fused ring heterocycles, for instance, pyrrolothiazines. We have established a method for obtaining pyrrolic compds. with a variety of 3-sulfonyl moieties such as sulfones, sulfonates, and sulfonamides.

216697-80-2P 216697-84-6P 216697-85-7P ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of alkylmethylsulfonylpyrroles and

alkylmethylsulfonylpyrrolecarboxylic acids)

RN 216697-80-2 HCAPLUS

1H-Pyrrole-2-carboxylic acid, 4-[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-5-CN methyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{MeO-C-CH}_2 - \text{NH-S} & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 216697-84-6 HCAPLUS

1H-Pyrrole-2-carboxylic acid, 4-[[(2-methoxy-2-oxoethyl)amino]sulfonyl]-CN 1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 216697-85-7 HCAPLUS

CN

ΙT

RN CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{N} & \text{C-OEt} \\ & \text{N} & \text{C-OEt} \\ & \text{O} & \text{O} \\ & \text{O} & \text{O} \end{array}$$

216697-81-3P 216697-87-9P 216697-88-0P 216697-89-1P 216697-90-4P 216697-94-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of alkylmethylsulfonylpyrroles and alkylmethylsulfonylpyrrolecarboxylic acids)

216697-81-3 HCAPLUS

1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]sulfonyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

Me
$$\stackrel{H}{\stackrel{N}{\stackrel{}}}$$
 $\stackrel{O}{\stackrel{}}$ $\stackrel{C}{\stackrel{}}$ OEt

RN 216697-87-9 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[(2-methoxy-2-oxoethyl)methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 216697-88-0 HCAPLUS

CN

CN

RN

1H-Pyrrole-2-carboxylic acid, 4-[[ethyl(2-methoxy-2-oxoethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

Me
$$N$$
 $C-OEt$
 $C-OEt$
 $C-OEt$
 $C-OEt$
 $C-OEt$
 $C-OEt$
 $C-OEt$
 $C-OEt$

RN 216697-89-1 HCAPLUS

1H-Pyrrole-2-carboxylic acid, 4-[[(2-methoxy-2oxoethyl)(phenylmethyl)amino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

216697-90-4 HCAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[[[2-(1,1-dimethylethoxy)-2-oxoethyl]methylamino]sulfonyl]-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 216697-94-8 HCAPLUS

CN Glycine, N-[(1,2-dimethyl-1H-pyrrol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT